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MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,  
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,  
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED PIPERAZINES, (1,4) DIASZEPINES, AND 2,5-DIAZABICYCLO (2.2.1) HEPTANES AS  
HISTAMINE H1 AND/OR H3 ANTAGONISTS OR HISTAMINE H3 REVERSE ANTAGONISTS

(57) Abstract: The present invention relates to novel piperazine and azepine derivatives having pharmacological activity, processes  
for their preparation, to compositions containing them and to their use in the treatment of neurodegenerative disorders including  
Alzheimer's disease.

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## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/11423

<b>A. CLASSIFICATION OF SUBJECT MATTER</b>				
IPC 7	C07D295/18	C07D317/68	C07D307/85	C07D405/06
	C07D295/22	C07D295/20	C07D295/12	C07D333/38
	C07D403/12	C07D401/12	C07D311/90	C07D487/08
				A61K31/496
According to International Patent Classification (IPC) or to both national classification and IPC				
<b>B. FIELDS SEARCHED</b>				
Minimum documentation searched (classification system followed by classification symbols)				
IPC 7	C07D	A61K	A61P	
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched				
Electronic data base consulted during the International search (name of data base and, where practical, search terms used)				
EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data				
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>				
Category *	Citation of document, with indication, where appropriate, of the relevant passages			Relevant to claim No.
X	WO 02 12214 A (ORTHO MCNEIL PHARM INC) 14 February 2002 (2002-02-14) cited in the application Abstract; page 4; claims; examples 7,8,24,26,27,53,88,90,91.			1-8
X	WO 02 06223 A (ABBOTT LAB) 24 January 2002 (2002-01-24) Abstract; claims; examples 162,163.			1-8
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<input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex.				
* Special categories of cited documents : *A* document defining the general state of the art which is not considered to be of particular relevance *E* earlier document but published on or after the international filing date *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) *O* document referring to an oral disclosure, use, exhibition or other means *P* document published prior to the international filing date but later than the priority date claimed *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. *&* document member of the same patent family				
Date of the actual completion of the international search			Date of mailing of the international search report	
28 January 2004			03/02/2004	
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016			Authorized officer  Weisbrod, T	

# INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/11423

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 A61P11/00 A61P25/00

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02 076925 A (BEAVERS LISA SELSAM; SCHAUS JOHN MEHNERT (US); WATSON BRIAN MORGAN) 3 October 2002 (2002-10-03) Abstract; claims, in particular compounds 102-105, 112-115 of claim 7.	1-8
P, X	WO 03 059341 A (ABBOTT LAB) 24 July 2003 (2003-07-24) Abstract; claims; examples 162, 163.	1-8
P, X	WO 03 066604 A (BOEHRINGER INGELHEIM INT; DOERWALD FLORENCIO ZARAGOZA (DK); PETTER) 14 August 2003 (2003-08-14) Abstract; claims; example 147.	1-8

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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- \*O\* document referring to an oral disclosure, use, exhibition or other means
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\*G\* document member of the same patent family

Date of the actual completion of the International search

28 January 2004

Date of mailing of the International search report

Name and mailing address of the ISA

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# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP 03/11423

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claim 7 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/11423

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